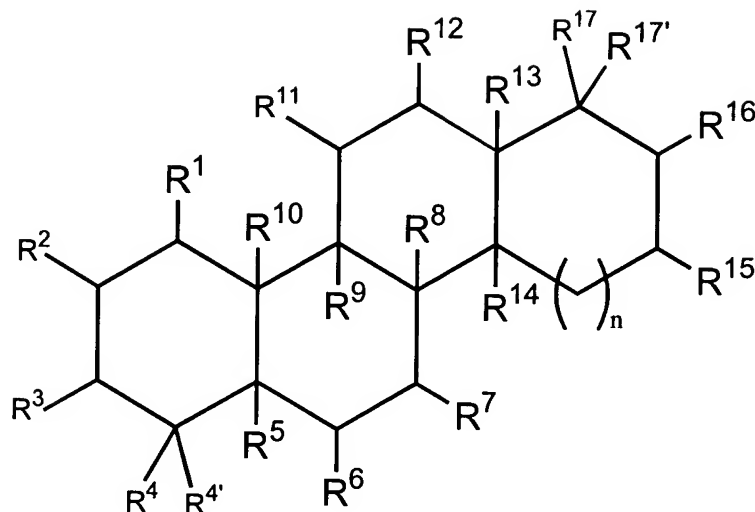


- 1 1. A compound of the following formula:



- 2  
3 wherein
- 4 R<sup>3</sup> is hydrogen, amino, carboxyl, oxo, halo, sulfonic acid, -O-sulfonic acid, or  
5 alkyl that is optionally inserted with -NH-, -N(alkyl)-, -O-, -S-, -SO-, -SO<sub>2</sub>-, -O-SO<sub>2</sub>-, -  
6 SO<sub>2</sub>-O-, -O-SO<sub>3</sub>-, -SO<sub>3</sub>-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-,  
7 or -N(alkyl)-CO-, and further optionally substituted with hydroxy, halo, amino, carboxyl,  
8 sulfonic acid, or -O-sulfonic acid;
- 9 each of R<sup>1</sup>, R<sup>2</sup>, R<sup>4</sup>, R<sup>4'</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>11</sup>, R<sup>12</sup>, R<sup>15</sup>, R<sup>16</sup>, and R<sup>17'</sup>, independently, is  
10 hydrogen, hydroxy, amino, carboxyl, oxo, halo, sulfonic acid, -O-sulfonic acid, or alkyl  
11 that is optionally inserted with -NH-, -N(alkyl)-, -O-, -S-, -SO-, -SO<sub>2</sub>-, -O-SO<sub>2</sub>-, -SO<sub>2</sub>-O-,  
12 -O-SO<sub>3</sub>-, -SO<sub>3</sub>-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or -  
13 N(alkyl)-CO-, and further optionally substituted with hydroxy, halo, amino, carboxyl,  
14 sulfonic acid, or -O-sulfonic acid;
- 15 each of R<sup>5</sup>, R<sup>8</sup>, R<sup>9</sup>, R<sup>10</sup>, R<sup>13</sup>, and R<sup>14</sup>, independently, is hydrogen, alkyl, haloalkyl,  
16 hydroxyalkyl, alkoxy, hydroxy, or amino;
- 17 R<sup>17</sup> is -X-Y-Z, in which X is a bond, or alkyl or alkenyl, optionally inserted with -  
18 NH-, -N(alkyl)-, -O-, or -S-, and further optionally forming a cyclic moiety with R<sup>16</sup> and  
19 the 2 ring carbon atoms to which R<sup>16</sup> and R<sup>17</sup> are bonded; Y is -CO-, -SO-, -SO<sub>2</sub>-, -O-  
20 SO<sub>2</sub>-, -SO<sub>2</sub>-O-, -O-SO<sub>3</sub>-, -SO<sub>3</sub>-O-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-,  
21 -N(alkyl)-CO-, or a bond; and Z is alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl,

22 cycloalkenyl, heterocycloalkenyl, aryl, heteroaryl, aralkyl, or heteroaralkyl, and is  
23 optionally substituted with hydroxy, alkoxy, amino, halo, sulfonic acid, -O-sulfonic acid,  
24 carboxyl, oxo, alkyloxycarbonyl, alkylcarbonyloxy, alkylaminocarbonyl,  
25 alkylcarbonylamino, alkylcarbonyl, alkylsulfinyl, alkylsulfonyl, or alkylthio; or is -  
26 CH(A)-B with A being a side chain of an amino acid, and B being hydrogen, -NR<sup>a</sup>R<sup>b</sup>, or -  
27 COOR<sup>c</sup> wherein each of R<sup>a</sup>, R<sup>b</sup>, and R<sup>c</sup>, independently, is hydrogen or alkyl; and  
28 n is 0, 1, or 2;  
29 provided that when Z is substituted with carboxyl or alkyloxycarbonyl, Y is a  
30 bond and either X or Z contains at least one double bond, and that when Y is a bond,  
31 either X is -NH-alkyl-, -NH-alkenyl-, -N(alkyl)-alkyl-, -N(alkyl)-alkenyl-, -O-alkyl-, -O-  
32 alkenyl-, -S-alkyl-, or -S-alkenyl-; or Z is substituted with halo, sulfonic acid, -O-sulfonic  
33 acid, alkylsulfinyl, or alkylsulfonyl, or is alkenyl;  
34 or a salt thereof.

1 2. The compound of claim 1, wherein n is 0.

1 3. The compound of claim 1, wherein R<sup>3</sup> is amino, carboxyl, halo, sulfonic acid, -O-  
2 sulfonic acid, or alkyl; R<sup>6</sup> is hydroxy, amino, carboxyl, halo, sulfonic acid, -O-  
3 sulfonic acid, or alkyl; and each of R<sup>3</sup> and R<sup>6</sup>, independently, is in the  $\alpha$ -  
4 configuration.

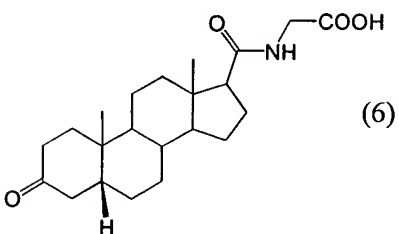
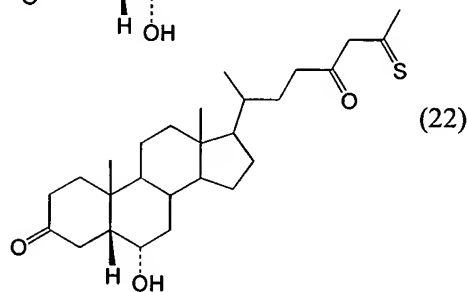
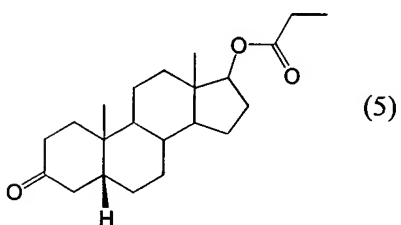
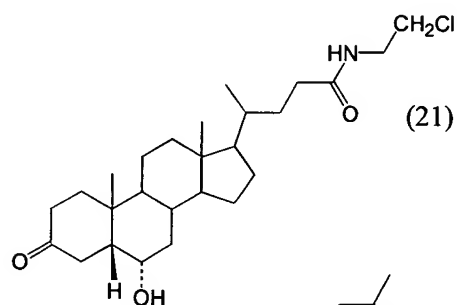
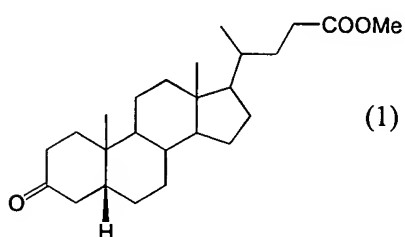
1 4. The compound of claim 1, wherein R<sup>5</sup> is hydrogen and is in the  $\beta$ -configuration.

1 5. The compound of claim 1, wherein R<sup>3</sup> is oxo; each of R<sup>1</sup>, R<sup>2</sup>, R<sup>4</sup>, R<sup>4'</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>11</sup>, R<sup>12</sup>,  
2 R<sup>15</sup>, R<sup>16</sup>, and R<sup>17'</sup>, independently, is hydrogen, hydroxy, oxo, halo, sulfonic acid, -O-  
3 sulfonic acid, or alkyl.

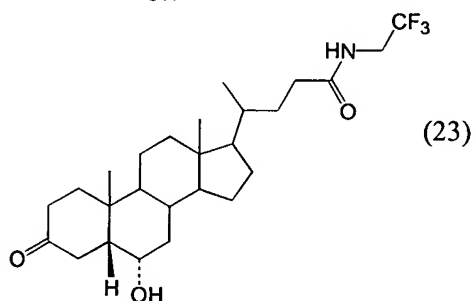
- 1 6. The compound of claim 5, wherein each of  $R^1$ ,  $R^2$ ,  $R^4$ ,  $R^4'$ ,  $R^6$ ,  $R^7$ ,  $R^{11}$ ,  $R^{12}$ ,  $R^{15}$ ,  $R^{16}$ ,  
2 and  $R^{17'}$ , independently, is hydrogen, hydroxy, or oxo; and each of  $R^5$ ,  $R^8$ ,  $R^9$ ,  $R^{10}$ ,  
3  $R^{13}$ , and  $R^{14}$ , independently, is hydrogen or hydroxy; or a salt thereof.
- 1 7. The compound of claim 6, wherein X is a bond or alkyl.
- 1 8. The compound of claim 7, wherein Y is  $-C(=O)-NH-$  or  $-NH-C(=O)-$ ; and Z is -  
2  $CH(A)-B$  with A being a side chain of Tyr or Phe, and B being  $-NR^aR^b$  or  $-COOR^c$
- 1 9. The compound of claim 1, wherein X is a bond or alkyl.
- 1 10. The compound of claim 9, wherein Y is  $-C(=O)-NH-$  or  $-NH-C(=O)-$ ; and Z is -  
2  $CH(A)-B$  with A being a side chain of Tyr or Phe, and B being  $-NR^aR^b$  or  $-COOR^c$
- 1 11. The compound of claim 6, wherein Y is  $-CO-$ ,  $-O-SO_2-$ ,  $-SO_2-O-$ ,  $-O-SO_3-$ ,  $-SO_3-O-$ , -  
2  $CO-NH-$ ,  $-NH-CO-$ , or a bond.
- 1 12. The compound of claim 11, wherein Z is alkyl, alkenyl, aryl, heteroaryl, aralkyl, or  
2 heteroaralkyl, and is optionally substituted with hydroxy, alkoxy, halo, sulfonic acid,  
3 carboxyl,  $-O$ -sulfonic acid, alkylsulfinyl, or alkylsulfonyl; or is  $-CH(A)-B$ .
- 1 13. The compound of claim 1, wherein Z is alkyl or aryl, each of which being optionally  
2 substituted with hydroxy; or is  $-CH(A)-B$  with A being an amino acid side chain  
3 having an aromatic moiety, and B being  $-NR^aR^b$ , or  $-COOR^c$ .
- 1 14. The compound of claim 1, wherein  $R^{17}$  contains a straight chain having 6-20 chain  
2 atoms.

- 1 15. The compound of claim 14, wherein R<sup>17</sup> contains a straight chain having 8-16 chain  
2 atoms.
- 1 16. The compound of claim 1, wherein X is -CH(CH<sub>3</sub>)-CH<sub>2</sub>-, Y is a bond, and Z is -CH<sub>2</sub>-  
2 CH=C(R')(CH<sub>3</sub>) with R' being hydroxy, alkoxy, amino, halo, sulfonic acid, -O-  
3 sulfonic acid, carboxyl, oxo, alkyloxycarbonyl, alkylcarbonyloxy,  
4 alkylaminocarbonyl, alkylcarbonylamino, alkylcarbonyl, alkylsulfinyl, alkylsulfonyl,  
5 or alkylthio.

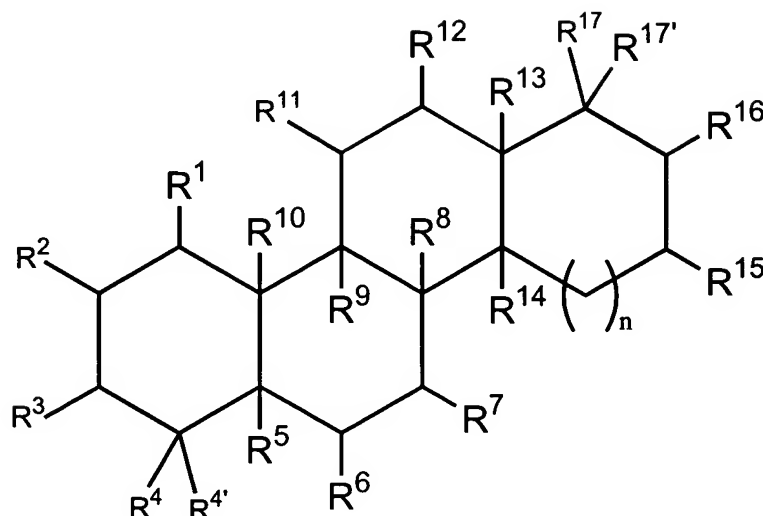
- 1 17. The compound of claim 1, wherein said compound  
2 is:



or



1 18. A compound of the following formula:



2

3 wherein

4 each of R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>4'</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>11</sup>, R<sup>12</sup>, R<sup>15</sup>, R<sup>16</sup>, and R<sup>17'</sup>, independently, is  
 5 hydrogen, hydroxy, amino, carboxyl, oxo, halo, sulfonic acid, -O-sulfonic acid, or alkyl  
 6 that is optionally inserted with -NH-, -N(alkyl)-, -O-, -S-, -SO-, -SO<sub>2</sub>-, -O-SO<sub>2</sub>-, -SO<sub>2</sub>-O-,  
 7 -O-SO<sub>3</sub>-, -SO<sub>3</sub>-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or -  
 8 N(alkyl)-CO-, and further optionally substituted with hydroxy, halo, amino, carboxyl,  
 9 sulfonic acid, or -O-sulfonic acid;

10 each of R<sup>5</sup>, R<sup>8</sup>, R<sup>9</sup>, R<sup>10</sup>, R<sup>13</sup>, and R<sup>14</sup>, independently, is hydrogen, alkyl, haloalkyl,  
 11 hydroxyalkyl, alkoxy, hydroxy, or amino;

12 R<sup>17</sup> is -X-Y-Z, in which X is a bond, or alkyl or alkenyl, optionally inserted with -  
 13 NH-, -N(alkyl)-, -O-, or -S-, and further optionally forming a cyclic moiety with R<sup>16</sup> and  
 14 the 2 ring carbon atoms to which R<sup>16</sup> and R<sup>17</sup> are bonded; Y is -CO-, -SO-, -SO<sub>2</sub>-, -O-  
 15 SO<sub>2</sub>-, -SO<sub>2</sub>-O-, -O-SO<sub>3</sub>-, -SO<sub>3</sub>-O-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-,  
 16 -N(alkyl)-CO-, or a bond; and Z is alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl,  
 17 cycloalkenyl, heterocycloalkenyl, aryl, heteroaryl, aralkyl, or heteroaralkyl, and is  
 18 optionally substituted with hydroxy, alkoxy, amino, halo, sulfonic acid, -O-sulfonic acid,  
 19 carboxyl, oxo, alkyloxycarbonyl, alkylcarbonyloxy, alkylaminocarbonyl,

20 alkylcarbonylamino, alkylcarbonyl, alkylsulfinyl, alkylsulfonyl, or alkylthio; or is -  
21 CH(A)-B with A being an amino acid side chain containing an aromatic moiety, and B  
22 being hydrogen, -NR<sup>a</sup>R<sup>b</sup>, or -COOR<sup>c</sup> wherein each of R<sup>a</sup>, R<sup>b</sup>, and R<sup>c</sup>, independently, is  
23 hydrogen or alkyl; and  
24 n is 0, 1, or 2;  
25 provided that when Z is substituted with carboxyl or alkyloxycarbonyl, Y is a  
26 bond and either X or Z contains at least one double bond, and that when Y is a bond,  
27 either X is -NH-alkyl-, -NH-alkenyl-, -N(alkyl)-alkyl-, -N(alkyl)-alkenyl-, -O-alkyl-, -O-  
28 alkenyl-, -S-alkyl-, or -S-alkenyl-; or Z is substituted with halo, sulfonic acid, -O-sulfonic  
29 acid, alkylsulfinyl, or alkylsulfonyl, or is alkenyl;  
30 or a salt thereof.

1 19. The compound of claim 18, wherein n is 0.

1 20. The compound of claim 18, wherein each of R<sup>3</sup> and R<sup>6</sup>, independently, is hydroxy,  
2 amino, carboxyl, halo, sulfonic acid, -O-sulfonic acid, or alkyl, and is in the  $\alpha$ -  
3 configuration.

1 21. The compound of claim 18, wherein R<sup>5</sup> is hydrogen and is in the  $\beta$ -configuration.

1 22. The compound of claim 18, wherein each of R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>4'</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>11</sup>, R<sup>12</sup>, R<sup>15</sup>,  
2 R<sup>16</sup>, and R<sup>17'</sup>, independently, is hydrogen, hydroxy, oxo, halo, sulfonic acid, -O-  
3 sulfonic acid, or alkyl.

1 23. The compound of claim 22, wherein each of R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>4'</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>11</sup>, R<sup>12</sup>, R<sup>15</sup>,  
2 R<sup>16</sup>, and R<sup>17'</sup>, independently, is hydrogen, hydroxy, or oxo; and each of R<sup>5</sup>, R<sup>8</sup>, R<sup>9</sup>,  
3 R<sup>10</sup>, R<sup>13</sup>, and R<sup>14</sup>, independently, is hydrogen or hydroxy.

Docket No.: 10634-002001

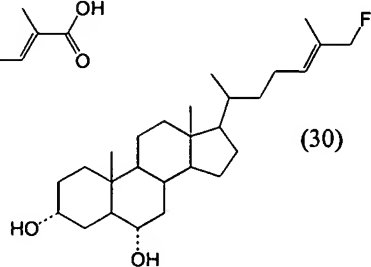
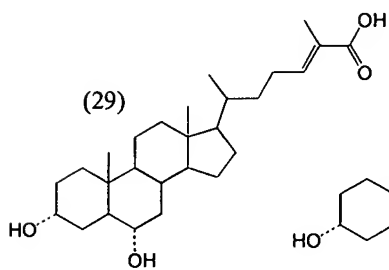
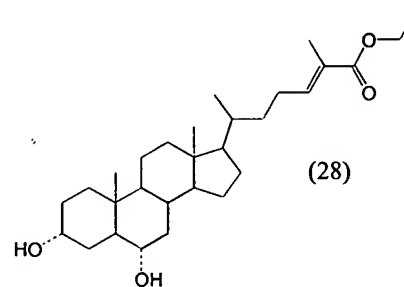
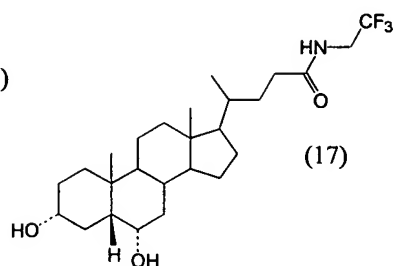
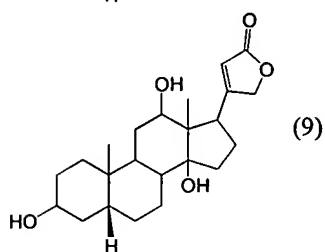
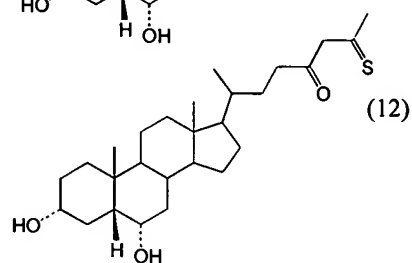
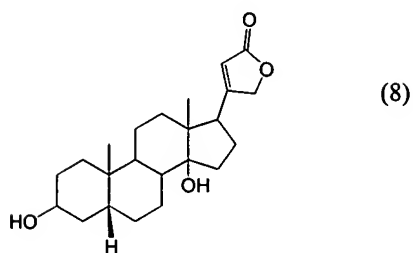
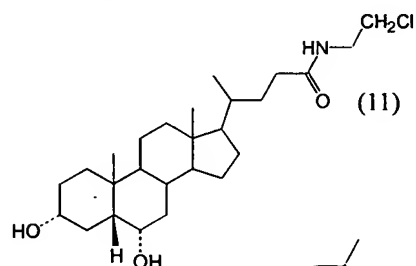
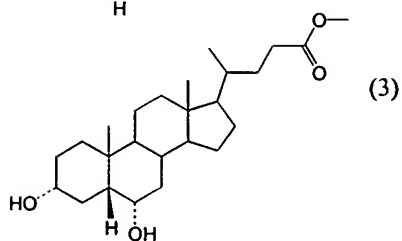
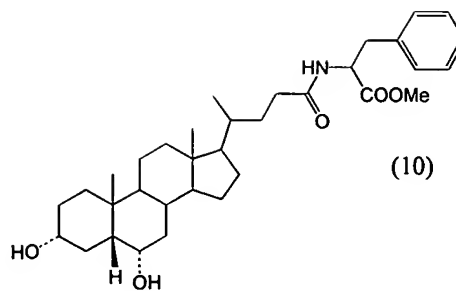
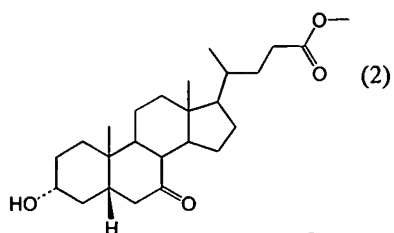
- 1 24. The compound of claim 23, wherein X is a bond or alkyl.
- 1 25. The compound of claim 24, wherein Y is -C(=O)-NH- or -NH-C(=O)-; and Z is -  
2 CH(A)-B with A being a side chain of Tyr or Phe, and B being -NR<sup>a</sup>R<sup>b</sup> or -COOR<sup>c</sup>
- 1 26. The compound of claim 18, wherein X is a bond or alkyl.
- 1 27. The compound of claim 26, wherein Y is -C(=O)-NH- or -NH-C(=O)-; and Z is -  
2 CH(A)-B with A being a side chain of Tyr or Phe, and B being -NR<sup>a</sup>R<sup>b</sup> or -COOR<sup>c</sup>
- 1 28. The compound of claim 18, wherein Y is -CO-, -O-SO<sub>2</sub>-, -SO<sub>2</sub>-O-, -O-SO<sub>3</sub>-, -SO<sub>3</sub>-O-,  
2 -CO-NH-, -NH-CO-, or a bond.
- 1 29. The compound of claim 28, wherein Z is alkyl, alkenyl, aryl, heteroaryl, aralkyl, or  
2 heteroaralkyl, and is optionally substituted with hydroxy, alkoxy, halo, sulfonic acid,  
3 carboxyl, -O-sulfonic acid, alkylsulfinyl, or alkylsulfonyl; or is -CH(A)-B.
- 1 30. The compound of claim 18, wherein Z is alkyl or aryl, each of which being optionally  
2 substituted with hydroxy; or is -CH(A)-B with A being an amino acid side chain  
3 having an aromatic moiety, and B being -NR<sup>a</sup>R<sup>b</sup>, or -COOR<sup>c</sup>.
- 1 31. The compound of claim 18, wherein R<sup>17</sup> contains a straight chain having 6-20 chain  
2 atoms.
- 1 32. The compound of claim 31, wherein R<sup>17</sup> contains a straight chain having 8-16 chain  
2 atoms.

Docket No.: 10634-002001

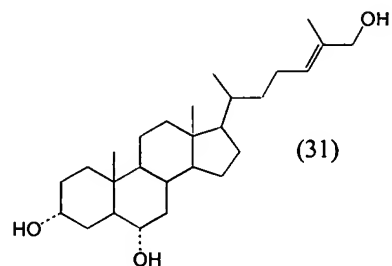
- 1 33. The compound of claim 18, wherein X is  $-\text{CH}(\text{CH}_3)-\text{CH}_2-$ , Y is a bond, and Z is –  
2  $\text{CH}_2-\text{CH}=\text{C}(\text{R}')(\text{CH}_3)$  with R' being hydroxy, alkoxy, amino, halo, sulfonic acid, -O-  
3 sulfonic acid, carboxyl, oxo, alkyloxy carbonyl, alkylcarbonyloxy,  
4 alkylaminocarbonyl, alkylcarbonylamino, alkylcarbonyl, alkylsulfinyl, alkylsulfonyl,  
5 or alkylthio.



1 34. The compound of claim 18, wherein said compound is:

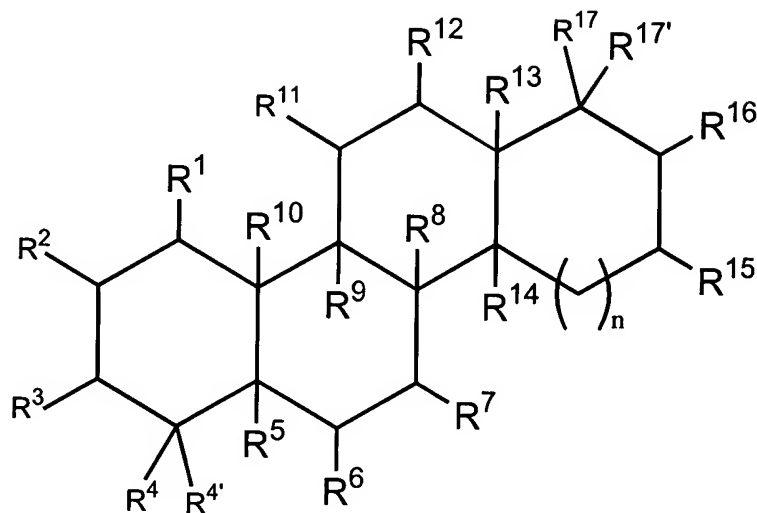


or



1 35. A compound of the following formula:

2



3

4 wherein

5 each of R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>4'</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>11</sup>, R<sup>12</sup>, R<sup>15</sup>, R<sup>16</sup>, and R<sup>17'</sup>, independently, is  
6 hydrogen, hydroxy, amino, carboxyl, oxo, halo, sulfonic acid, -O-sulfonic acid, or alkyl  
7 optionally inserted with -NH-, -N(alkyl)-, -O-, -S-, -SO-, -SO<sub>2</sub>-, -O-SO<sub>2</sub>-, -SO<sub>2</sub>-O-, -O-  
8 SO<sub>3</sub>-, -SO<sub>3</sub>-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or -  
9 N(alkyl)-CO-, and further optionally substituted with hydroxy, halo, amino, carboxyl,  
10 sulfonic acid, or -O-sulfonic acid;

11 each of R<sup>5</sup>, R<sup>8</sup>, R<sup>9</sup>, R<sup>10</sup>, R<sup>13</sup>, and R<sup>14</sup>, independently, is hydrogen, alkyl, haloalkyl,  
12 hydroxyalkyl, alkoxy, hydroxy, or amino;

Docket No.: 10634-002001

13  $R^{17}$  is -X-Y-Z, in which X is a bond, or alkyl or alkenyl, optionally inserted with  
14 -NH-, -N(alkyl)-, -O-, or -S-, and further optionally forming a cyclic moiety with  $R^{16}$  and  
15 the 2 ring carbon atoms to which  $R^{16}$  and  $R^{17}$  are bonded; Y is -CO-, -SO-, -SO<sub>2</sub>-, -O-  
16 SO<sub>2</sub>-, -SO<sub>2</sub>-O-, -O-SO<sub>3</sub>-, -SO<sub>3</sub>-O-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-,  
17 -N(alkyl)-CO-, or a bond; and Z is alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl,  
18 cycloalkenyl, heterocycloalkenyl, aryl, heteroaryl, aralkyl, or heteroaralkyl, and is  
19 optionally substituted with hydroxy, alkoxy, amino, halo, sulfonic acid, -O-sulfonic acid,  
20 carboxyl, oxo, alkyloxycarbonyl, alkylcarbonyloxy, alkylaminocarbonyl,  
21 alkylcarbonylamino, alkylcarbonyl, alkylsulfinyl, alkylsulfonyl, or alkylthio; or is -  
22 CH(A)-B with A being a side chain of an amino acid, and B being hydrogen, -NR<sup>a</sup>R<sup>b</sup>, or -  
23 COOR<sup>c</sup> wherein each of R<sup>a</sup>, R<sup>b</sup>, and R<sup>c</sup>, independently, is hydrogen or alkyl; and  
24 n is 0, 1, or 2;  
25 provided that when Z is substituted with carboxyl or alkyloxycarbonyl, Y is a  
26 bond and either X or Z contains at least one double bond, and that when Y is a bond,  
27 either X is -NH-alkyl-, -NH-alkenyl-, -N(alkyl)-alkyl-, -N(alkyl)-alkenyl-, -O-alkyl-, -O-  
28 alkenyl-, -S-alkyl-, or -S-alkenyl-; or Z is substituted with halo, sulfonic acid, -O-sulfonic  
29 acid, alkylsulfinyl, or alkylsulfonyl, or is alkenyl; and  
30 further provided that at least one of R<sup>3</sup> and R<sup>4</sup>, R<sup>4</sup> and R<sup>5</sup>, R<sup>5</sup> and R<sup>6</sup>, R<sup>7</sup> and R<sup>8</sup>,  
31 R<sup>12</sup> and R<sup>13</sup>, and R<sup>15</sup> and R<sup>16</sup>, independently, is deleted to form a double bond;  
32 or a salt thereof.

1 36. The compound of claim 35, wherein at least one of R<sup>3</sup> and R<sup>4</sup>, R<sup>4</sup> and R<sup>5</sup>, R<sup>12</sup> and R<sup>13</sup>,  
2 and R<sup>15</sup> and R<sup>16</sup>, independently, is deleted to form a double bond.

1 37. The compound of claim 35, wherein n is 0.

Docket No.: 10634-002001

- 1 38. The compound of claim 35, wherein  $R^3$  is hydroxy, amino, carboxyl, halo, sulfonic  
2 acid, -O-sulfonic acid, or alkyl, and is in the  $\alpha$ -configuration.
- 1 39. The compound of claim 35, wherein each of  $R^1, R^2, R^3, R^4, R^4', R^6, R^7, R^{11}, R^{12}, R^{15},$   
2  $R^{16},$  and  $R^{17'}$ , independently, is hydrogen, hydroxy, oxo, halo, sulfonic acid, -O-  
3 sulfonic acid, or alkyl.
- 1 40. The compound of claim 39, wherein each of  $R^1, R^2, R^3, R^4, R^4', R^6, R^7, R^{11}, R^{12}, R^{15},$   
2  $R^{16},$  and  $R^{17'}$ , independently, is hydrogen, hydroxy, or oxo; and each of  $R^5, R^8, R^9,$   
3  $R^{10}, R^{13},$  and  $R^{14}$ , independently, is hydrogen or hydroxy.
- 1 41. The compound of claim 40, wherein X is a bond or alkyl.
- 1 42. The compound of claim 41, wherein Y is -C(=O)-NH- or -NH-C(=O)-; and Z is -  
2 CH(A)-B with A being a side chain of Tyr or Phe, and B being -NR<sup>a</sup>R<sup>b</sup> or -COOR<sup>c</sup>
- 1 43. The compound of claim 35, wherein X is a bond or alkyl.
- 1 44. The compound of claim 35, wherein Y is -CO-, -O-SO<sub>2</sub>-, -SO<sub>2</sub>-O-, -O-SO<sub>3</sub>-, -SO<sub>3</sub>-O-,  
2 -CO-NH-, -NH-CO-, or a bond.
- 1 45. The compound of claim 35, wherein Z is alkyl or aryl, each of which being optionally  
2 substituted with hydroxy; or is -CH(A)-B with A being an amino acid side chain  
3 having an aromatic moiety, and B being -NR<sup>a</sup>R<sup>b</sup>, or -COOR<sup>c</sup>.
- 1 46. The compound of claim 35, wherein  $R^{17}$  contains a straight chain having 6-20 chain  
2 atoms.

- 1 47. The compound of claim 46, wherein  $R^{17}$  contains a straight chain having 8-16 chain  
2 atoms.
- 1 48. The compound of claim 35, wherein X is  $-\text{CH}(\text{CH}_3)-\text{CH}_2-$ , Y is a bond, and Z is  $-\text{CH}_2-\text{CH}=\text{C}(\text{R}')(\text{CH}_3)$  with R' being hydroxy, alkoxy, amino, halo, sulfonic acid, -O-sulfonic acid, carboxyl, oxo, alkyloxycarbonyl, alkylcarbonyloxy, alkylaminocarbonyl, alkylcarbonylamino, alkylcarbonyl, alkylsulfinyl, alkylsulfonyl, or alkylthio.
- 1 49. The compound of claim 35, wherein Z is alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, cycloalkenyl, heterocycloalkenyl, aryl, heteroaryl, aralkyl, or heteroaralkyl.
- 1 50. The compound of claim 49, wherein n is 0.
- 1 51. The compound of claim 49, wherein  $R^3$  is hydroxy, amino, carboxyl, halo, sulfonic acid, -O-sulfonic acid, or alkyl, and is in the  $\alpha$ -configuration.
- 1 52. The compound of claim 49, wherein each of  $R^1, R^2, R^3, R^4, R^{4'}, R^6, R^7, R^{11}, R^{12}, R^{15}, R^{16}$ , and  $R^{17'}$ , independently, is hydrogen, hydroxy, oxo, halo, sulfonic acid, -O-sulfonic acid, or alkyl.
- 1 53. The compound of claim 52, wherein each of  $R^1, R^2, R^3, R^4, R^{4'}, R^6, R^7, R^{11}, R^{12}, R^{15}, R^{16}$ , and  $R^{17'}$ , independently, is hydrogen, hydroxy, or oxo; and each of  $R^5, R^8, R^9, R^{10}, R^{13}$ , and  $R^{14}$ , independently, is hydrogen or hydroxy.
- 1 54. The compound of claim 53, wherein X is a bond or alkyl.

Docket No.: 10634-002001

1 55. The compound of claim 54, wherein Y is -C(=O)-NH- or -NH-C(=O)-; and Z is -  
2 CH(A)-B with A being a side chain of Tyr or Phe, and B being -NR<sup>a</sup>R<sup>b</sup> or -COOR<sup>c</sup>

1 56. The compound of claim 49, wherein X is a bond or alkyl.

1 57. The compound of claim 56, wherein Y is -C(=O)-NH- or -NH-C(=O)-; and Z is -  
2 CH(A)-B with A being a side chain of Tyr or Phe, and B being -NR<sup>a</sup>R<sup>b</sup> or -COOR<sup>c</sup>

1 58. The compound of claim 49, wherein Y is -CO-, -O-SO<sub>2</sub>-, -SO<sub>2</sub>-O-, -O-SO<sub>3</sub>-, -SO<sub>3</sub>-O-,  
2 -CO-NH-, -NH-CO-, or a bond.

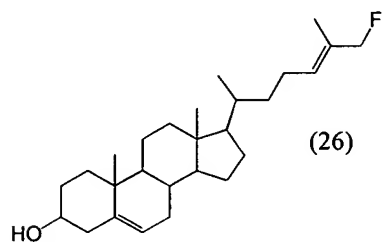
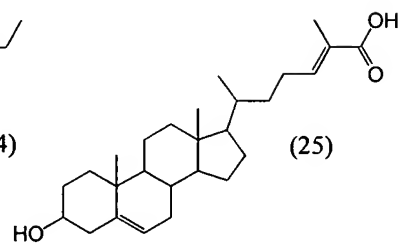
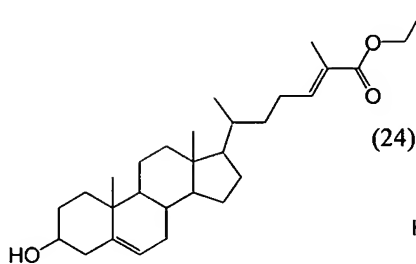
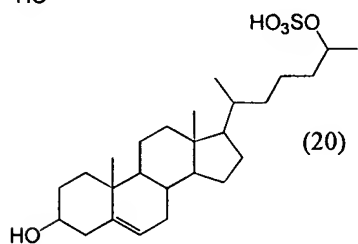
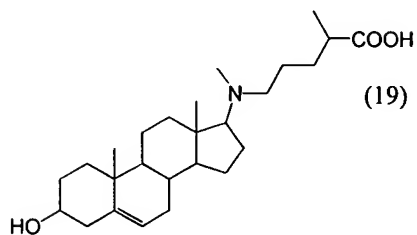
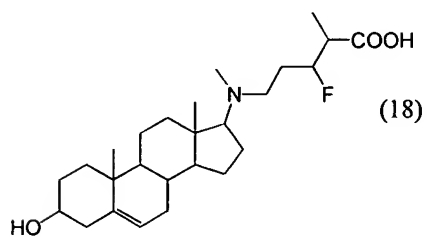
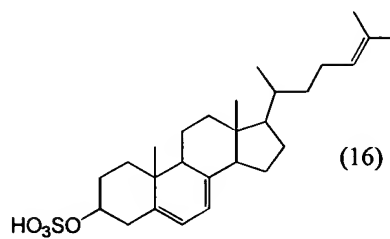
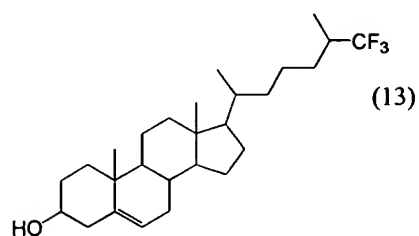
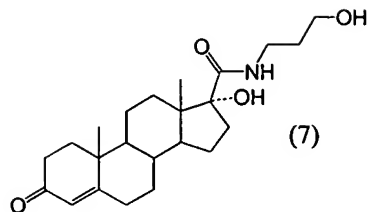
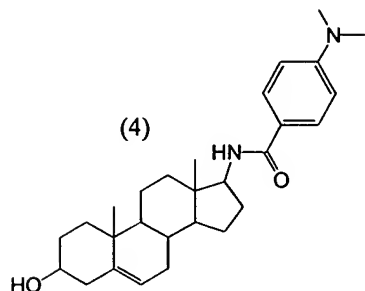
1 59. The compound of claim 49, wherein R<sup>17</sup> contains a straight chain having 6-20 chain  
2 atoms.

1 60. The compound of claim 59, wherein R<sup>17</sup> contains a straight chain having 8-16 chain  
2 atoms.

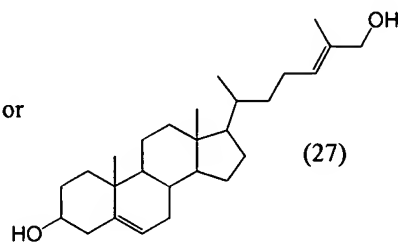
1 61. The compound of claim 49, wherein X is -CH(CH<sub>3</sub>)-CH<sub>2</sub>-, Y is a bond, and Z is -  
2 CH<sub>2</sub>-CH=C(R')(CH<sub>3</sub>) with R' being hydroxy, alkoxy, amino, halo, sulfonic acid, -O-  
3 sulfonic acid, carboxyl, oxo, alkyloxycarbonyl, alkylcarbonyloxy,  
4 alkylaminocarbonyl, alkylcarbonylamino, alkylcarbonyl, alkylsulfinyl, alkylsulfonyl,  
5 or alkylthio.

Docket No.: 10634-002001

- 1 62. The compound of claim 49, wherein said compound  
2 is:

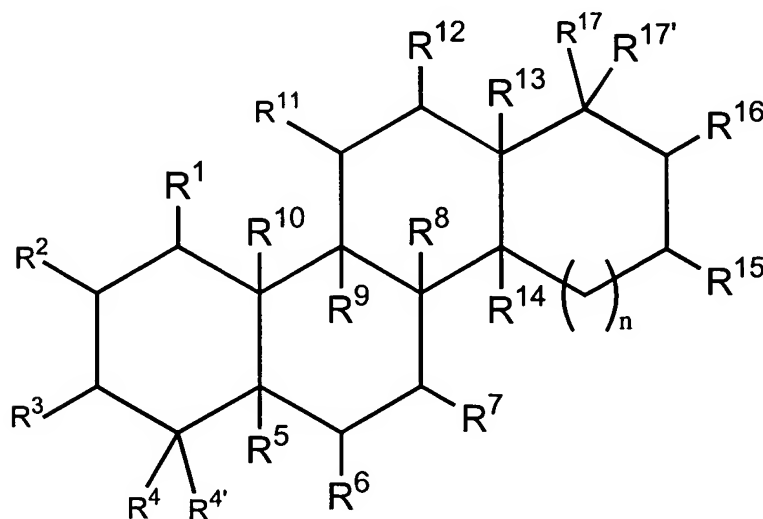


or



3

- 1 63. A pharmaceutical composition for treating a UR- or a LXR-mediated disorder, said  
2 composition comprising a pharmaceutically acceptable carrier and an effective  
3 amount of a compound of the following formula:



4

5 wherein

6  $R^3$  is hydrogen, amino, carboxyl, oxo, halo, sulfonic acid, -O-sulfonic acid, or  
7 alkyl that is optionally inserted with -NH-, -N(alkyl)-, -O-, -S-, -SO-, -SO<sub>2</sub>-, -O-SO<sub>2</sub>-, -  
8 SO<sub>2</sub>-O-, -O-SO<sub>3</sub>-, -SO<sub>3</sub>-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-,  
9 or -N(alkyl)-CO-, and further optionally substituted with hydroxy, halo, amino, carboxyl,  
10 sulfonic acid, or -O-sulfonic acid;

11 each of  $R^1$ ,  $R^2$ ,  $R^4$ ,  $R^4'$ ,  $R^6$ ,  $R^7$ ,  $R^{11}$ ,  $R^{12}$ ,  $R^{15}$ ,  $R^{16}$ , and  $R^{17'}$ , independently, is  
12 hydrogen, hydroxy, amino, carboxyl, oxo, halo, sulfonic acid, -O-sulfonic acid, or alkyl  
13 that is optionally inserted with -NH-, -N(alkyl)-, -O-, -S-, -SO-, -SO<sub>2</sub>-, -O-SO<sub>2</sub>-, -SO<sub>2</sub>-O-,  
14 -O-SO<sub>3</sub>-, -SO<sub>3</sub>-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or -  
15 N(alkyl)-CO-, and further optionally substituted with hydroxy, halo, amino, carboxyl,  
16 sulfonic acid, or -O-sulfonic acid;



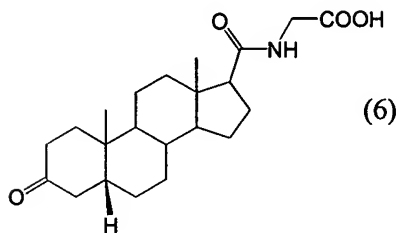
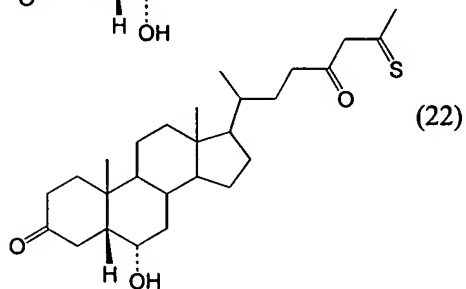
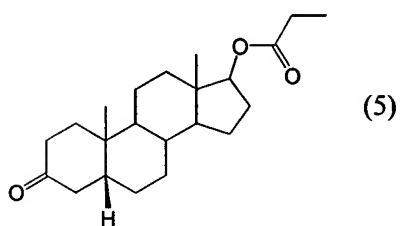
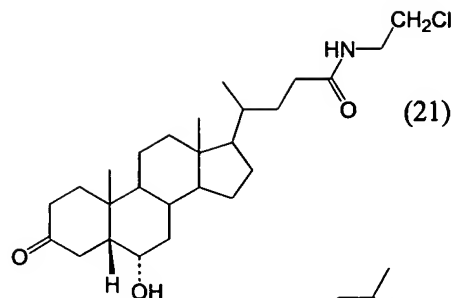
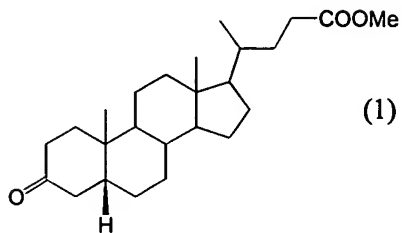
17 each of  $R^5$ ,  $R^8$ ,  $R^9$ ,  $R^{10}$ ,  $R^{13}$ , and  $R^{14}$ , independently, is hydrogen, alkyl, haloalkyl,  
18 hydroxyalkyl, alkoxy, hydroxy, or amino;

19  $R^{17}$  is -X-Y-Z, in which X is a bond, or alkyl or alkenyl, optionally inserted with -  
20 NH-, -N(alkyl)-, -O-, or -S-, and further optionally forming a cyclic moiety with  $R^{16}$  and  
21 the 2 ring carbon atoms to which  $R^{16}$  and  $R^{17}$  are bonded; Y is -CO-, -SO-, -SO<sub>2</sub>-, -O-  
22 SO<sub>2</sub>-, -SO<sub>2</sub>-O-, -O-SO<sub>3</sub>-, -SO<sub>3</sub>-O-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-,  
23 -N(alkyl)-CO-, or a bond; and Z is alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl,  
24 cycloalkenyl, heterocycloalkenyl, aryl, heteroaryl, aralkyl, or heteroaralkyl, and is  
25 optionally substituted with hydroxy, alkoxy, amino, halo, sulfonic acid, -O-sulfonic acid,  
26 carboxyl, oxo, alkyloxycarbonyl, alkylcarbonyloxy, alkylaminocarbonyl,  
27 alkylcarbonylamino, alkylcarbonyl, alkylsulfinyl, alkylsulfonyl, or alkylthio; or is -  
28 CH(A)-B with A being a side chain of an amino acid, and B being hydrogen, -NR<sup>a</sup>R<sup>b</sup>, or -  
29 COOR<sup>c</sup> wherein each of R<sup>a</sup>, R<sup>b</sup>, and R<sup>c</sup>, independently, is hydrogen or alkyl; and  
30 n is 0, 1, or 2;

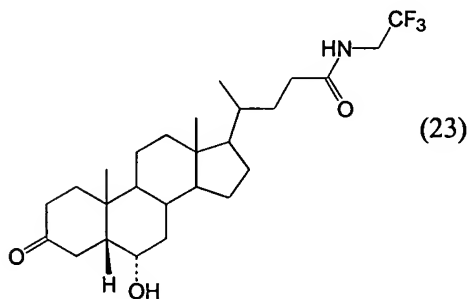
31 provided that when Z is substituted with carboxyl or alkyloxycarbonyl, Y is a  
32 bond and either X or Z contains at least one double bond, and that when Y is a bond,  
33 either X is -NH-alkyl-, -NH-alkenyl-, -N(alkyl)-alkyl-, -N(alkyl)-alkenyl-, -O-alkyl-, -O-  
34 alkenyl-, -S-alkyl-, or -S-alkenyl-; or Z is substituted with halo, sulfonic acid, -O-sulfonic  
35 acid, alkylsulfinyl, or alkylsulfonyl, or is alkenyl;  
36 or a salt thereof.

Docket No.: 10634-002001

- 1 64. The composition of claim 63, wherein said compound  
2 is:

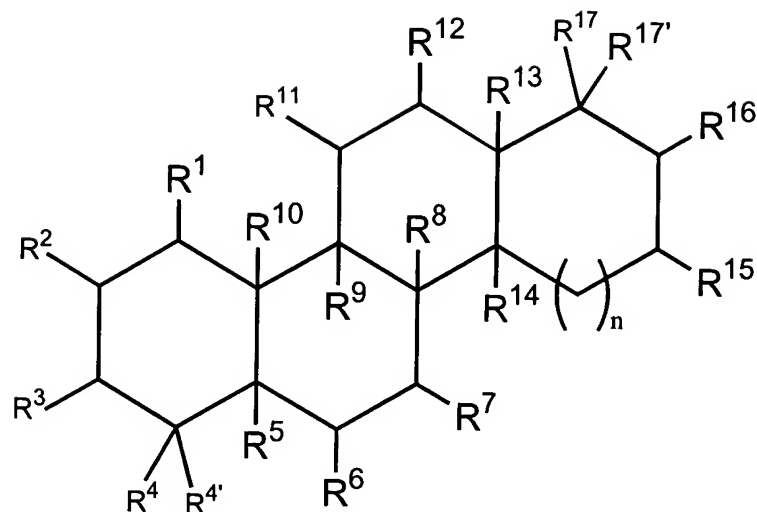


or



3

- 1 65. A pharmaceutical composition for treating a UR- or a LXR-mediated disorder, said  
2 composition comprising a pharmaceutically acceptable carrier and an effective  
3 amount of a compound of the following formula:

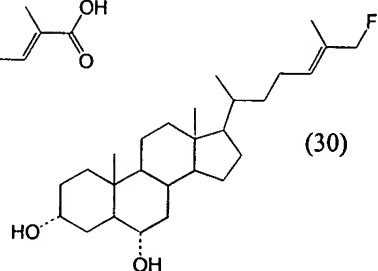
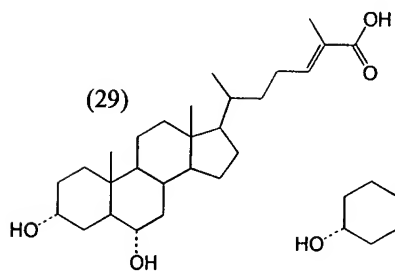
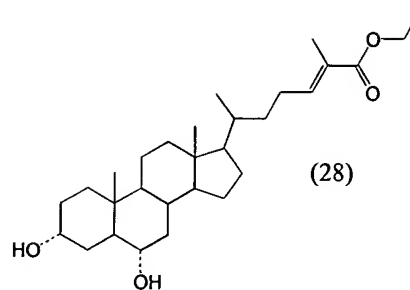
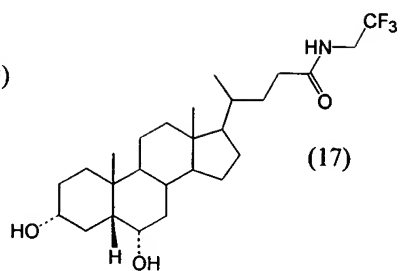
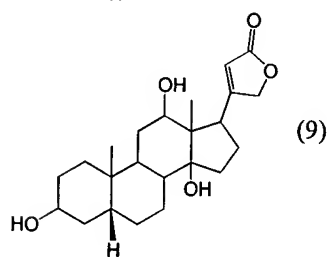
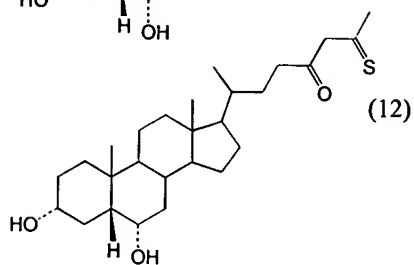
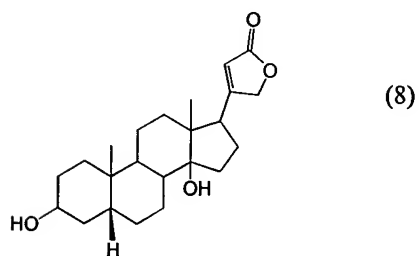
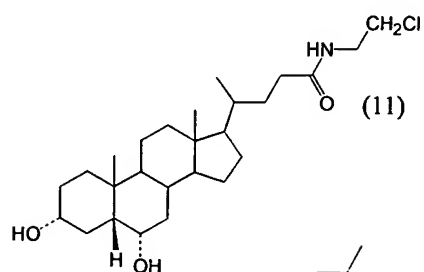
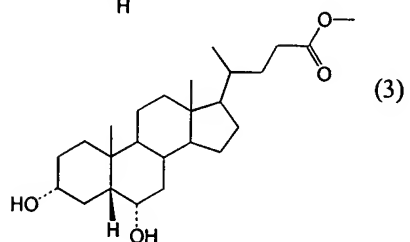
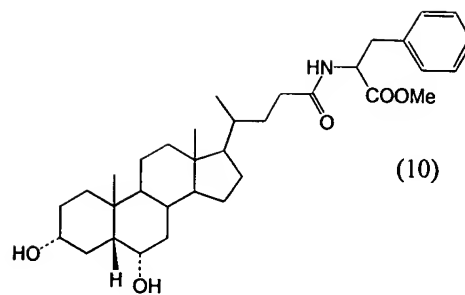
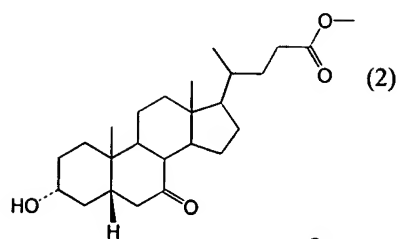


- 4
- 5 wherein
- 6 each of  $R^1$ ,  $R^2$ ,  $R^3$ ,  $R^4$ ,  $R^4'$ ,  $R^6$ ,  $R^7$ ,  $R^{11}$ ,  $R^{12}$ ,  $R^{15}$ ,  $R^{16}$ , and  $R^{17'}$ , independently, is
- 7 hydrogen, hydroxy, amino, carboxyl, oxo, halo, sulfonic acid, -O-sulfonic acid, or alkyl
- 8 that is optionally inserted with -NH-, -N(alkyl)-, -O-, -S-, -SO-, -SO<sub>2</sub>-, -O-SO<sub>2</sub>-, -SO<sub>2</sub>-O-,
- 9 -O-SO<sub>3</sub>-, -SO<sub>3</sub>-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or -
- 10 N(alkyl)-CO-, and further optionally substituted with hydroxy, halo, amino, carboxyl,
- 11 sulfonic acid, or -O-sulfonic acid;
- 12 each of  $R^5$ ,  $R^8$ ,  $R^9$ ,  $R^{10}$ ,  $R^{13}$ , and  $R^{14}$ , independently, is hydrogen, alkyl, haloalkyl,
- 13 hydroxyalkyl, alkoxy, hydroxy, or amino;
- 14  $R^{17}$  is -X-Y-Z, in which X is a bond, or alkyl or alkenyl, optionally inserted with -
- 15 NH-, -N(alkyl)-, -O-, or -S-, and further optionally forming a cyclic moiety with  $R^{16}$  and
- 16 the 2 ring carbon atoms to which  $R^{16}$  and  $R^{17}$  are bonded; Y is -CO-, -SO-, -SO<sub>2</sub>-, -O-
- 17 SO<sub>2</sub>-, -SO<sub>2</sub>-O-, -O-SO<sub>3</sub>-, -SO<sub>3</sub>-O-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-,
- 18 -N(alkyl)-CO-, or a bond; and Z is alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl,
- 19 cycloalkenyl, heterocycloalkenyl, aryl, heteroaryl, aralkyl, or heteroaralkyl, and is
- 20 substituted with hydroxy, alkoxy, amino, halo, sulfonic acid, -O-sulfonic acid, carboxyl,
- 21 oxo, alkylloxycarbonyl, alkylcarbonyloxy, alkylaminocarbonyl, alkylcarbonylamino,
- 22 alkylcarbonyl, alkylsulfinyl, alkylsulfonyl, or alkylthio; or is -CH(A)-B with A being an

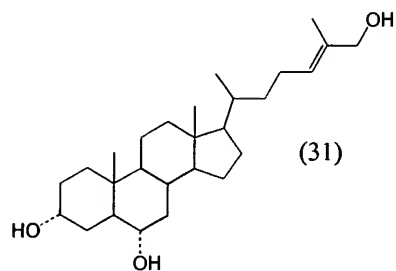
Docket No.: 10634-002001

23 amino acid side chain containing an aromatic moiety, and B being hydrogen,  $-NR^aR^b$ , or -  
24  $COOR^c$  wherein each of  $R^a$ ,  $R^b$ , and  $R^c$ , independently, is hydrogen or alkyl; and  
25 n is 0, 1, or 2;  
26 provided that when Z is substituted with carboxyl or alkyloxycarbonyl, Y is a  
27 bond and either X or Z contains at least one double bond, and that when Y is a bond,  
28 either X is -NH-alkyl-, -NH-alkenyl-, -N(alkyl)-alkyl-, -N(alkyl)-alkenyl-, -O-alkyl-, -O-  
29 alkenyl-, -S-alkyl-, or -S-alkenyl-; or Z is substituted with halo, sulfonic acid, -O-sulfonic  
30 acid, alkylsulfinyl, or alkylsulfonyl, or is alkenyl;  
31 or a salt thereof.

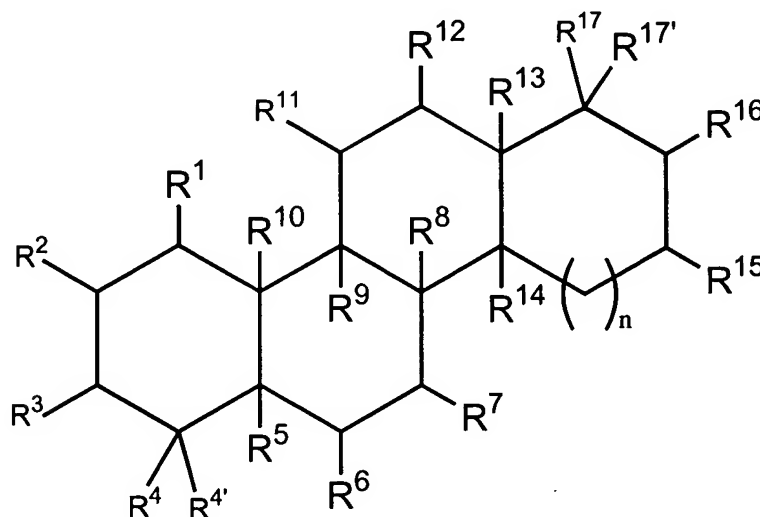
1 66. The composition of claim 65, wherein said compound is:



or



- 1 67. A pharmaceutical composition for treating a UR- or a LXR-mediated disorder, said  
2 composition comprising a pharmaceutically acceptable carrier and an effective  
3 amount of a compound of the following formula:



- 4  
5 wherein  
6 each of R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>4'</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>11</sup>, R<sup>12</sup>, R<sup>15</sup>, R<sup>16</sup>, and R<sup>17'</sup>, independently, is  
7 hydrogen, hydroxy, amino, carboxyl, oxo, halo, sulfonic acid, -O-sulfonic acid, or alkyl  
8 optionally inserted with -NH-, -N(alkyl)-, -O-, -S-, -SO-, -SO<sub>2</sub>-, -O-SO<sub>2</sub>-, -SO<sub>2</sub>-O-, -O-  
9 SO<sub>3</sub>-, -SO<sub>3</sub>-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or -  
10 N(alkyl)-CO-, and further optionally substituted with hydroxy, halo, amino, carboxyl,  
11 sulfonic acid, or -O-sulfonic acid;  
12 each of R<sup>5</sup>, R<sup>8</sup>, R<sup>9</sup>, R<sup>10</sup>, R<sup>13</sup>, and R<sup>14</sup>, independently, is hydrogen, alkyl, haloalkyl,  
13 hydroxyalkyl, alkoxy, hydroxy, or amino;  
14 R<sup>17</sup> is -X-Y-Z, in which X is a bond, or alkyl or alkenyl, optionally inserted with

15 -NH-, -N(alkyl)-, -O-, or -S-, and further optionally forming a cyclic moiety with R<sup>16</sup> and  
16 the 2 ring carbon atoms to which R<sup>16</sup> and R<sup>17</sup> are bonded; Y is -CO-, -SO-, -SO<sub>2</sub>-, -O-  
17 SO<sub>2</sub>-, -SO<sub>2</sub>-O-, -O-SO<sub>3</sub>-, -SO<sub>3</sub>-O-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-,  
18 -N(alkyl)-CO-, or a bond; and Z is hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl,  
19 heterocycloalkyl, cycloalkenyl, heterocycloalkenyl, aryl, heteroaryl, aralkyl, or  
20 heteroaralkyl, and is optionally substituted with hydroxy, alkoxy, amino, halo, sulfonic  
21 acid, -O-sulfonic acid, carboxyl, oxo, alkyloxycarbonyl, alkylcarbonyloxy,  
22 alkylaminocarbonyl, alkylcarbonylamino, alkylcarbonyl, alkylsulfinyl, alkylsulfonyl, or  
23 alkylthio; or is -CH(A)-B with A being a side chain of an amino acid, and B being  
24 hydrogen, -NR<sup>a</sup>R<sup>b</sup>, or -COOR<sup>c</sup> wherein each of R<sup>a</sup>, R<sup>b</sup>, and R<sup>c</sup>, independently, is  
25 hydrogen or alkyl; and  
26 n is 0, 1, or 2;  
27 provided that when Z is substituted with carboxyl or alkyloxycarbonyl, Y is a  
28 bond and either X or Z contains at least one double bond, and that when Y is a bond,  
29 either X is -NH-alkyl-, -NH-alkenyl-, -N(alkyl)-alkyl-, -N(alkyl)-alkenyl-, -O-alkyl-, -O-  
30 alkenyl-, -S-alkyl-, or -S-alkenyl-; or Z is substituted with halo, sulfonic acid, -O-sulfonic  
31 acid, alkylsulfinyl, or alkylsulfonyl, or is alkenyl; and further provided that at least one of  
32 R<sup>3</sup> and R<sup>4</sup>, R<sup>4</sup> and R<sup>5</sup>, R<sup>5</sup> and R<sup>6</sup>, R<sup>7</sup> and R<sup>8</sup>, R<sup>12</sup> and R<sup>13</sup>, and R<sup>15</sup> and R<sup>16</sup>, independently,  
33 is deleted to form a double bond;  
34 or a salt thereof.

1 68. The composition of claim 67, wherein said compound is:

